<C ~~10512810~~ 12/08/05

10/511,506

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626KAS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS	4	OCT 03	MATHDI removed from STN
NEWS	5	OCT 04	CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS	6	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS	7	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools
NEWS	8	OCT 27	Free KWIC format extended in full-text databases
NEWS	9	OCT 27	DIOGENES content streamlined
NEWS	10	OCT 27	EPFULL enhanced with additional content
NEWS	11	NOV 14	CA/CAplus - Expanded coverage of German academic research
NEWS	12	NOV 30	REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data
NEWS	13	DEC 05	CASREACT(R) - Over 10 million reactions available

NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 06:31:36 ON 12 DEC 2005

10/511506

<C ~~10512810~~ 12/08/05

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 06:31:42 ON 12 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2005 HIGHEST RN 869698-41-9
DICTIONARY FILE UPDATES: 9 DEC 2005 HIGHEST RN 869698-41-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

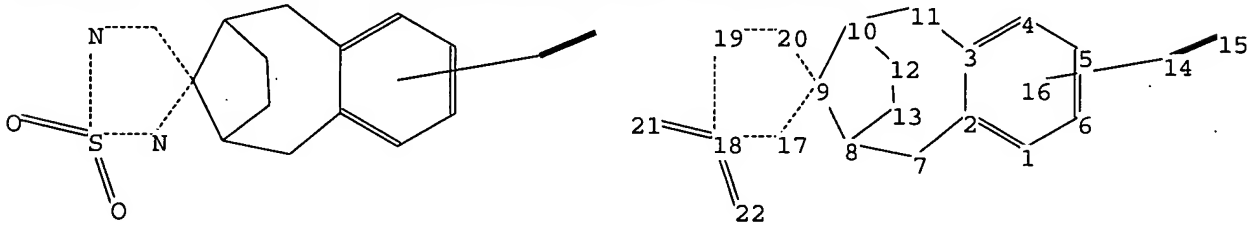
```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10511506.str



chain nodes :
14 15 21 22
ring nodes :

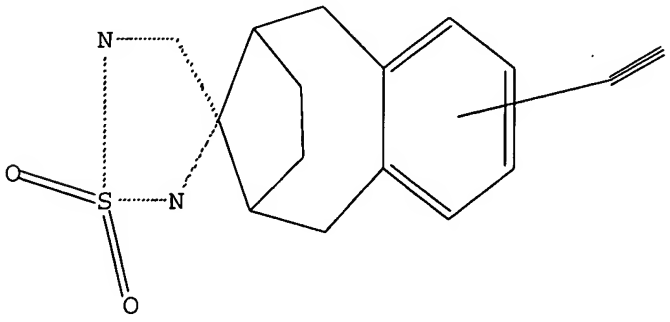
<C 10512810 12/08/05

1 2 3 4 5 6 7 8 9 10 11 12 13 17 18 19 20
chain bonds :
14-15 18-21 18-22
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 8-13 9-10 9-17 9-20 10-11
10-12 12-13 17-18 18-19 19-20
exact/norm bonds :
2-7 3-11 7-8 8-9 8-13 9-10 9-17 9-20 10-11 10-12 12-13 17-18 18-19
18-21 18-22 19-20
exact bonds :
14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom
20:Atom 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 06:32:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.03

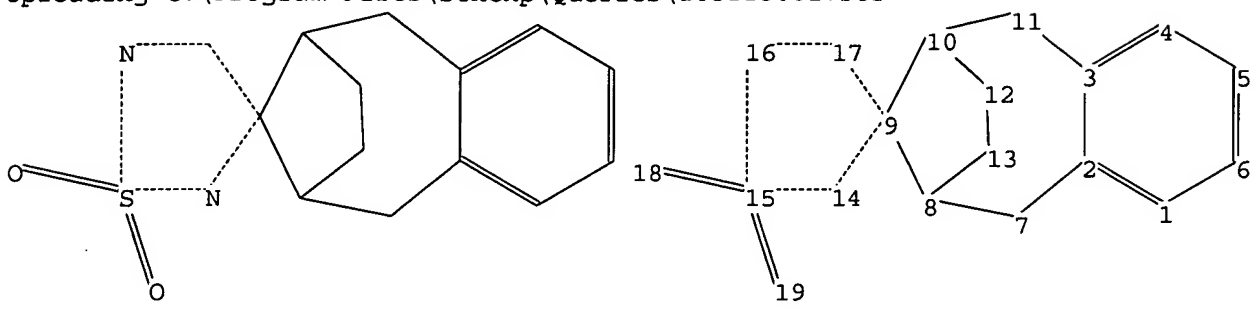
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

10/511,506

<C 10512810 12/08/05

=>
Uploading C:\Program Files\Stnexp\Queries\105115061.str

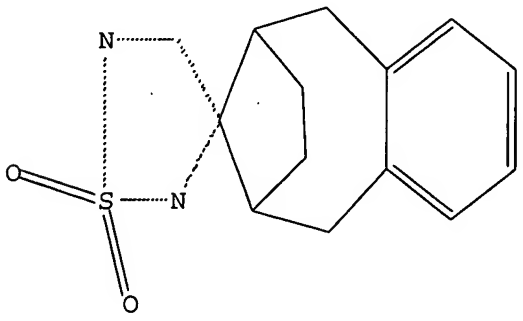


chain nodes :
18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
15-18 15-19
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 8-13 9-10 9-14 9-17 10-11
10-12 12-13 14-15 15-16 16-17
exact/norm bonds :
2-7 3-11 7-8 8-9 8-13 9-10 9-14 9-17 10-11 10-12 12-13 14-15 15-16
15-18 15-19 16-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

<C 10512810 12/08/05

=> s l3
SAMPLE SEARCH INITIATED 06:33:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE

100.0% PROCESSED 17 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 93 TO 587
PROJECTED ANSWERS: 80 TO 560

L4 16 SEA SSS SAM L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 1.72 1.93

FILE 'CAPLUS' ENTERED AT 06:34:03 ON 12 DEC 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Dec 2005 VOL 143 ISS 25
FILE LAST UPDATED: 11 Dec 2005 (20051211/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l4
L5 5 L4

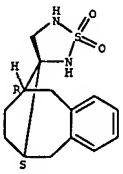
=> d ibib abs hitstr tot

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:921410 CAPLUS
DOCUMENT NUMBER: 143:338962
TITLE: Cyclic sulfamide γ-secretase inhibitors
AUTHOR(S): Sparey, Tim; Beher, Dirk; Best, Jonathan; Biba, Mirlinda; Castro, Jose L.; Clarke, Earl; Hannam, Joanne; Harrison, Timothy; Lewis, Huw; Madin, Andrew; Shearman, Mark; Sohal, Bindi; Tsou, Nancy; Welch, Christopher; Wrigley, Jonathan
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Sharp and Dohme Research Laboratories, The Neuroscience Research Centre, Essex, Harlow, CM20 2QR, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4212-4216
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel series of N-alkyl-substituted cyclic sulfamides were developed from a screening hit. Chemistries were developed which allowed surveys of N-alkyl groups and amines resulting in the identification of N-trifluoroethyl-substituted cyclic sulfamides with good in vitro and in vivo γ-secretase activity. One compound with subnanomolar activity elicited a reduction in brain Aβ40 after oral dosing in APP-YAC mice.

IT **423168-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclic sulfamide γ-secretase inhibitors)
RN 423168-73-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



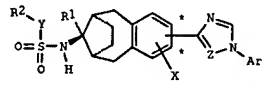
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:300414 CAPLUS
DOCUMENT NUMBER: 142:373471
TITLE: Preparation of benzoannulenyl sulfonamide derivatives as γ-secretase inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Clare; Madin, Andrew; Ridgill, Mark Peter
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

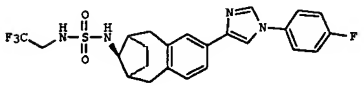
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030731	A1	20050407	WO 2004-GB3973	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2003-22340	A 20030924
			GB 2003-22341	A 20030924
OTHER SOURCE(S): MARPAT 142:373471				

GI

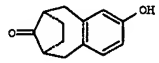
L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II



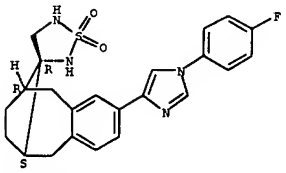
III

AB Title compds. I [Z = CH, N and the resulting heterocycle is attached at either * position and X is adjacent thereto; X = H, OH, alkoxy, etc.; Y = bond, O, NR3; Ar = (un)substituted-Ph, -heteroaryl; R1 = H or if Y = NR3 then R1 and R3 together = -CH2-; R2 = H, (un)substituted-hydrocarbon, -heteroaryl, etc.; R3 = H, alkyl, or R3 together with R2 = heterocycle with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as useful as γ-secretase inhibitors. Thus, e.g., II was prepared via coupling of the boronate derivative of III (preparation given) with 4-bromo-1-(4-fluorophenyl)-1H-imidazole followed by conversion of the ketone to a tert-butylsulfonylimino derivative which undergoes subsequent redns. and sulfonamidation with 2,2,2-trifluorosulfamoyl chloride. The activity of I was evaluated in various inhibition assays and revealed that all compds. of the invention had ED50 values less than 50 nM and exhibited good oral bioavailability and/or brain penetration. I as γ-secretase inhibitors should prove useful in the treatment of Alzheimer's disease.

IT **849549-56-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoannulenyl sulfonamide derivs. as γ-secretase inhibitors)
RN 849549-56-0 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[1-(4-fluorophenyl)-1H-imidazol-4-yl]-5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:390243 CAPLUS
DOCUMENT NUMBER: 140:406804
TITLE: A preparation of cyclic sulfamide derivatives, useful as γ-secretase inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Clare; Harrison, Timothy; Madin, Andrew; Ridgill, Mark Peter
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039800	A1	20040513	WO 2003-GB4728	20031031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2503884	AA	20040513	CA 2003-2503884	20031031
PRIORITY APPLN. INFO.:			GB 2002-25475	A 20021101
			WO 2003-GB4728	W 20031031

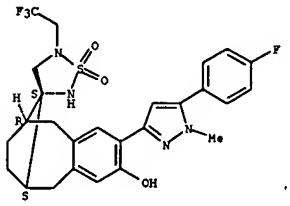
OTHER SOURCE(S): MARPAT 140:406804
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to cyclic sulfamide derivs. of formula I [wherein: the pyrazole group is attached at 2- or 3-position of the benzene ring; X = H, OH, C1-4 alkoxy, Cl, or F; Ar is a Ph or 6-membered heteroaryl, either of which bears 0-3 substituents independently selected from halogen, CF3, or NO2, etc.; R1 is a hydrocarbon group of 1-5 carbon atoms which is optionally substituted with up to 3 halogen atoms; R2 is H or a hydrocarbon group of 1-10 carbon atoms which is optionally substituted with up to 7 halogen atoms; when X is H, R2 is not 2,2,2-trifluoroethyl] as γ-secretase inhibitors, useful for treatment or prevention of Alzheimer's disease. For treating or preventing Alzheimer's disease, a suitable dosage level of the invented compds. is about 0.05 to 50 mg/kg of body weight per day (ED50 < 100 nM). For instance, cyclic sulfamide derivative
II was prepared from the prepared intermediate III and allylamine in DMSO at 100 °C in a sealed tube with a yield of 84%.
IT **689255-69-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclic sulfamides for inhibition of γ-secretase)
RN 689255-69-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidin]-2-ol, 3-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6R,9S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

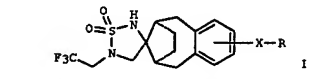


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

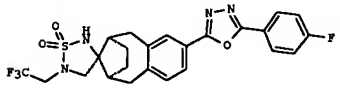
L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:892764 CAPLUS
DOCUMENT NUMBER: 139:381490
TITLE: Preparation of spirocyclic [1,2,5]thiadiazole derivatives as γ-secretase inhibitors for treatment of Alzheimer's disease
INVENTOR(S): Collins, Ian James; Cooper, Laura Catherine; Harrison, Timothy; Keown, Linda Elizabeth; Madin, Andrew; Ridgill, Mark Peter
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093252	A1	20031113	WO 2003-GB1763	20030424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484159	AA	20031113	CA 2003-2484159	20030424
EP 1503998	A1	20050209	EP 2003-722769	20030424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005182109	A1	20050818	US 2003-512810	20030424
PRIORITY APPLN. INFO.:			GB 2002-9996	A 20020501
			GB 2002-23873	A 20021014
			US 2002-424608P	P 20021107
			WO 2003-GB1763	W 20030424

OTHER SOURCE(S): MARPAT 139:381490
GI



I

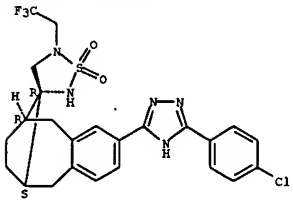


II

AB The title compds. I [wherein X = an (un)substituted bivalent pyrazole, imidazole, triazole, oxazole, isoxazole, thiazole, isothiazole, thiadiazole, or 1,3,4-oxadiazole; R = CF3, (un)substituted aliphatic

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
hydrocarbyl, heterocyclyl, Ph, heteroaryl, or amino] and pharmaceutically acceptable salts thereof are prepd. I are inhibitors of the processing of APP by γ-secretase, and are useful in the treatment or prevention of Alzheimer's disease (no data). For example, the compd. II was prepd. in a multi-step synthesis. Some of compd. I have ED50 of <1 nM against γ-secretase.
IT **623576-27-2P 623576-52-3P 623576-53-4P**
623576-65-8P 623576-95-4P 623577-09-3P
623577-12-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thiadiazole derivs. as γ-secretase inhibitors for treatment of Alzheimer's disease)
RN 623576-27-2 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-chlorophenyl)-1H-1,2,4-triazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (9CI) (CA INDEX NAME)

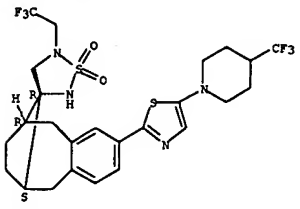
Relative stereochemistry.



RN 623576-52-3 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-2-[5-[4-(trifluoromethyl)-1-piperidinyl]-2-thiazolyl]-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

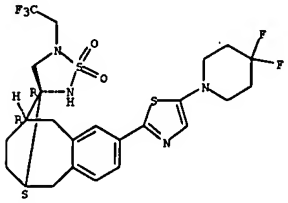
Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623576-53-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4,4-difluoro-1-piperidinyl)-2-thiazolyl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

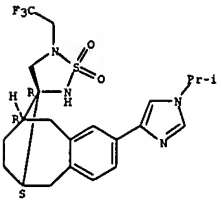
Absolute stereochemistry.



RN 623576-65-8 CAPLUS
CN 1(2H)-Pyridinecarboxylic acid, 4-[2-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidin]-2-yl]-5-thiazolyl]-3,6-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

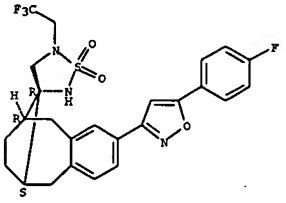
Absolute stereochemistry. Rotation (-).

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623577-12-8 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-3-isoxazolyl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

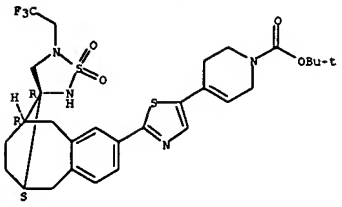
Absolute stereochemistry.



IT 623577-66-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiadiazole derivs. as γ-secretase inhibitors for treatment of Alzheimer's disease)
RN 623577-66-2 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-(5-bromo-2-thiazolyl)-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

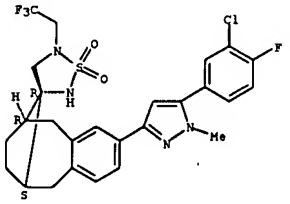
Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623576-95-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(3-chloro-4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

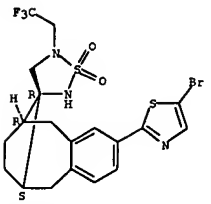
Absolute stereochemistry.



RN 623577-09-3 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2-[1-(1-methylethyl)-1H-imidazol-4-yl]-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

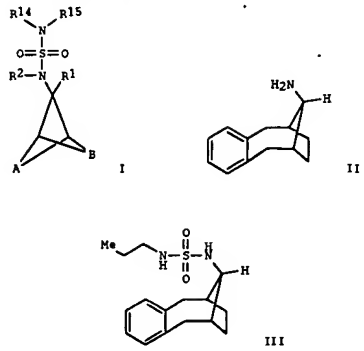
L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:353420 CAPLUS
DOCUMENT NUMBER: 136:369505
TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives as γ-secretase inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Claire; Harrison, Timothy; Lewis, Stephen John; Madin, Andrew; Sparey, Timothy Jason; Williams, Brian John
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036555	A1	20020510	WO 2001-GB4817	20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427206	AA	20020510	CA 2001-2427206	20011029
AU 2002010747	A5	20020515	AU 2002-10747	20011029
EP 1334085	A1	20030813	EP 2001-978652	20011029
EP 1334085	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513108	T2	20040430	JP 2002-539315	20011029
AT 302753	E	20050915	AT 2001-978652	20011029
US 2004049038	A1	20040311	US 2003-415751	20030501

PRIORITY APPLN. INFO.: GB 2000-26827 A 20001102; GB 2001-22685 A 20010920; WO 2001-GB4817 W 20011029

OTHER SOURCE(S): MARPAT 136:369505
GI



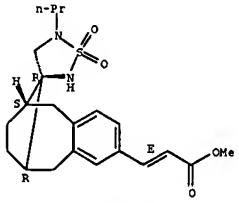
I II III

AB Title compds. I [A, B = (CXY)p, (CXY)qCY=CY(CXY)r, (CXY)xNR13(CXY)y, etc.; X = halo, R9, OR9, SR9, S(O)1-2R10, OSO2R9, N(R9)2, COR9, CO2R9, etc.; Y = H, alkyl or X, Y together = O, S, N-OR11, CHR11; provided neither A nor B comprises more than one CXY moiety which is other than CH2; p = 1-6; q, r, x, y = 0-2; provided that at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alk(en)yl or R1 and R15 together may complete a 5-, 6- or 7-membered cyclic sulfamide; R2 = H, Cl, alkyl, aryl, aryl-alkyl, cycloalkyl, acyl, etc.; R9 = H or R10 or two R9 groups together with a nitrogen atom to which they are mutually attached may complete a pyrrolidine, piperidine, piperazine, etc.; R10 = alkyl, perfluoroalkyl, cycloalkyl, etc.; R11 = H, alkyl, etc.; R14 = H, alkyl, etc.; R15 = H, alkyl or R15 and R1 together complete a 5-, 6- or 7-membered cyclic sulfamide] were prepared Over 150 synthetic examples were disclosed. For instance, prior art amine II was sulfonylated with catechol sulfate and the intermediate treated with n-PrNH2 (dioxane, 80°C, 1 h) to give III. I are inhibitors of γ-secretase and are cytotoxic with EC50 < 10 μM for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

IT **423168-00-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)

RN 423168-00-7 CAPLUS
CN 2-Propenoic acid, 3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-propylspiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidin]-2-yl]-, methyl ester, (2E)-rel- (9CI) (CA INDEX NAME)

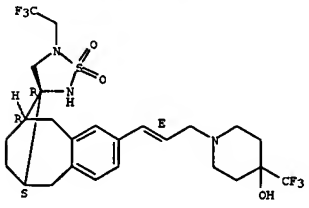
Relative stereochemistry.
Double bond geometry as shown.



IT **423168-37-0P 423168-50-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)

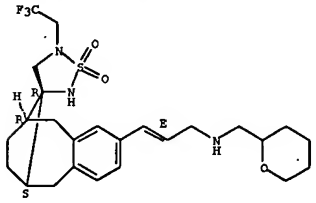
RN 423168-37-0 CAPLUS
CN 4-Piperidinol, 1-[(2E)-3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidin]-2-yl]-2-propenyl]-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 423168-50-7 CAPLUS
CN 2H-Pyran-2-methanamine, N-[(2E)-3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidin]-2-yl]-2-propenyl]tetrahydro-, rel- (9CI) (CA INDEX NAME)

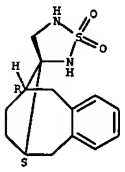
Relative stereochemistry.
Double bond geometry as shown.



IT **423168-73-4P 423169-04-4P 423169-11-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)

RN 423168-73-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, stereoisomer (9CI) (CA INDEX NAME)

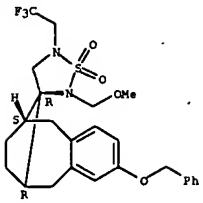
Relative stereochemistry.



RN 423169-04-4 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2'-(methoxymethyl)-2-(phenylmethoxy)-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (9CI) (CA INDEX NAME)

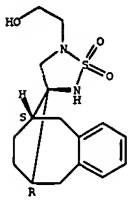
Relative stereochemistry.

LS ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 423169-11-3 CAPLUS
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine]-5'-ethanol, 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<C 10512810 12/08/05

=> logoff
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	25.15	27.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

STN INTERNATIONAL LOGOFF AT 06:34:31 ON 12 DEC 2005